



FIG. 1B

### Scheme 2

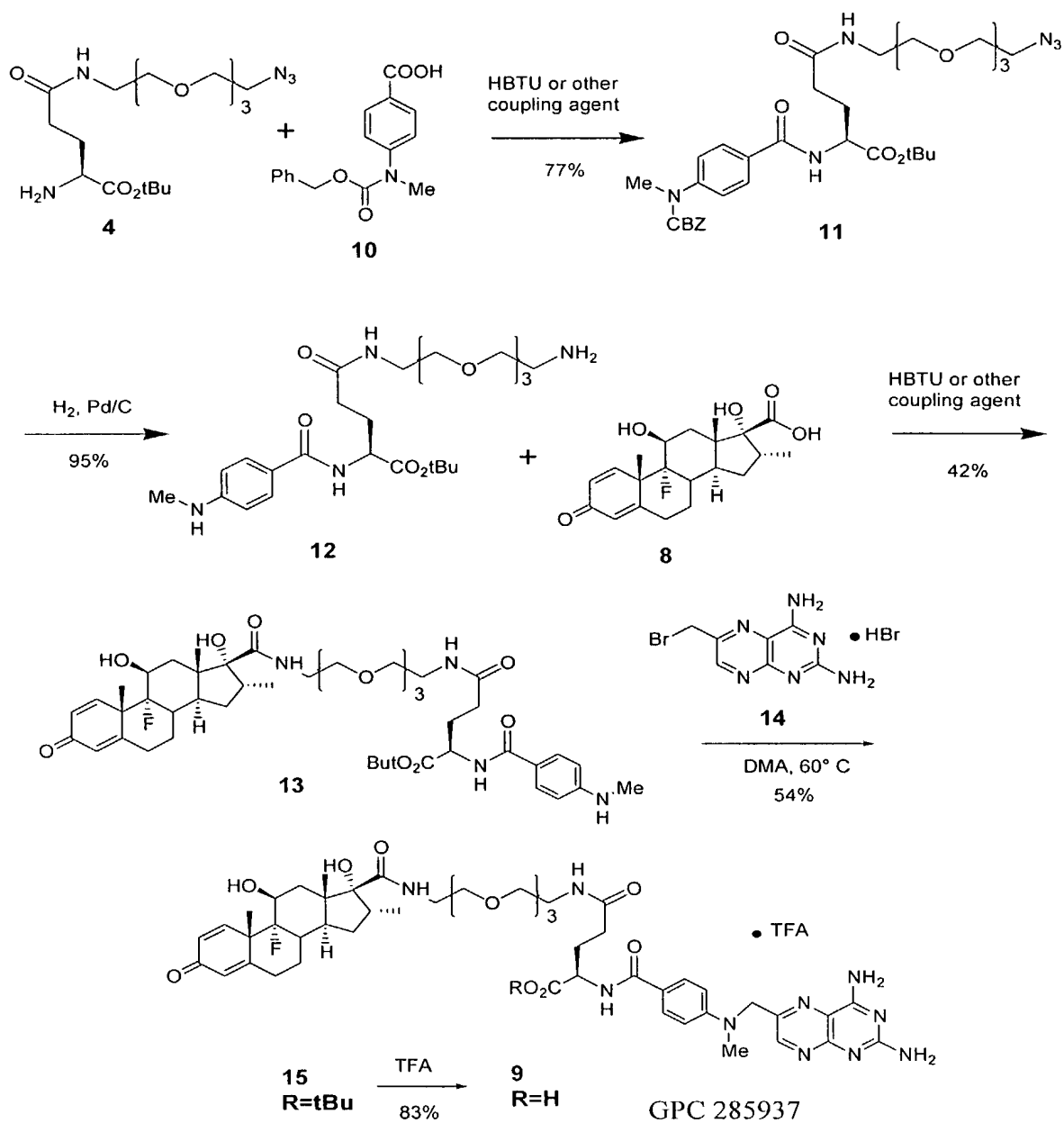


FIG. 1C

Scheme 3

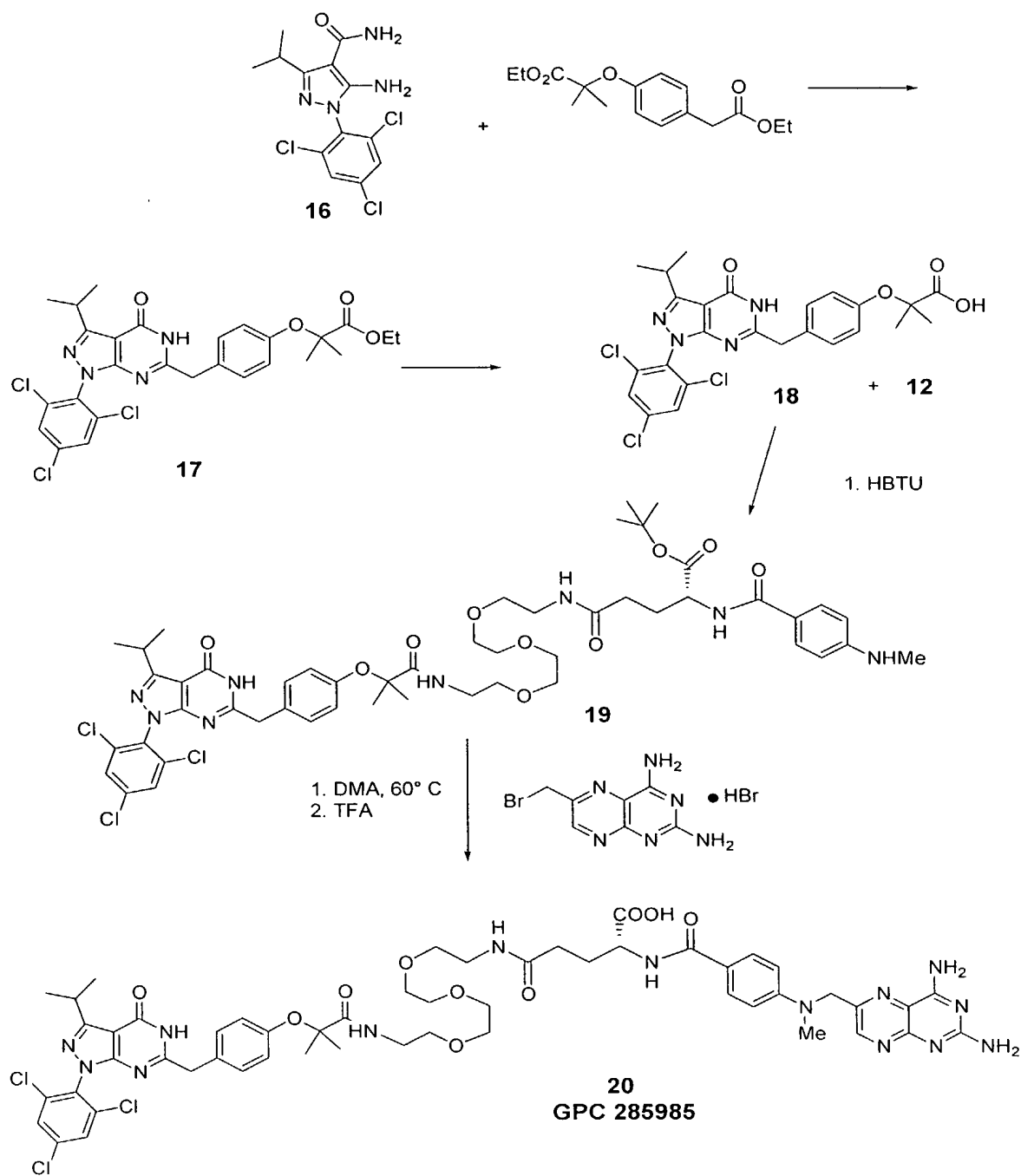


FIG. 1D  
Scheme 4

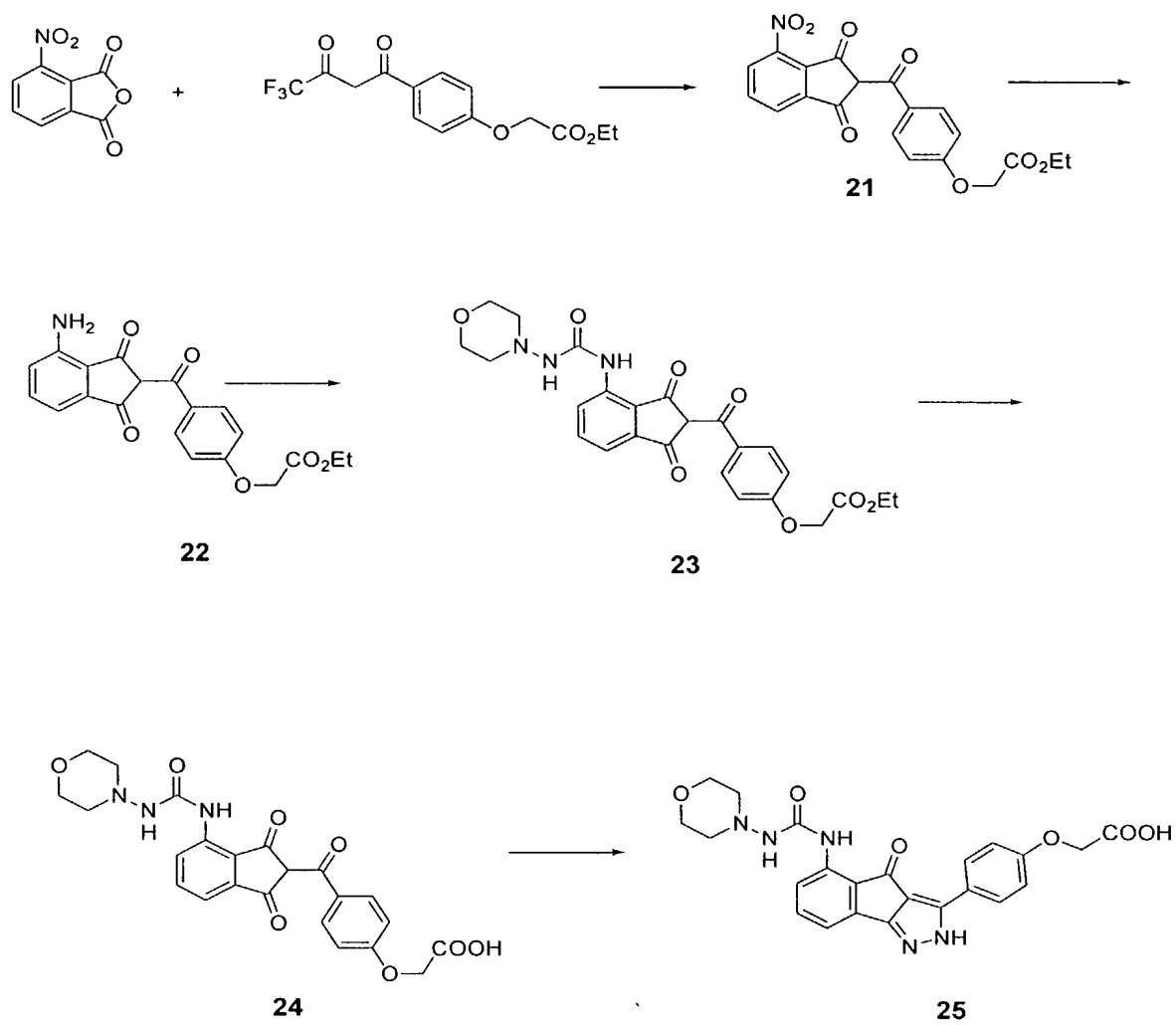


FIG. 1E

Scheme 5

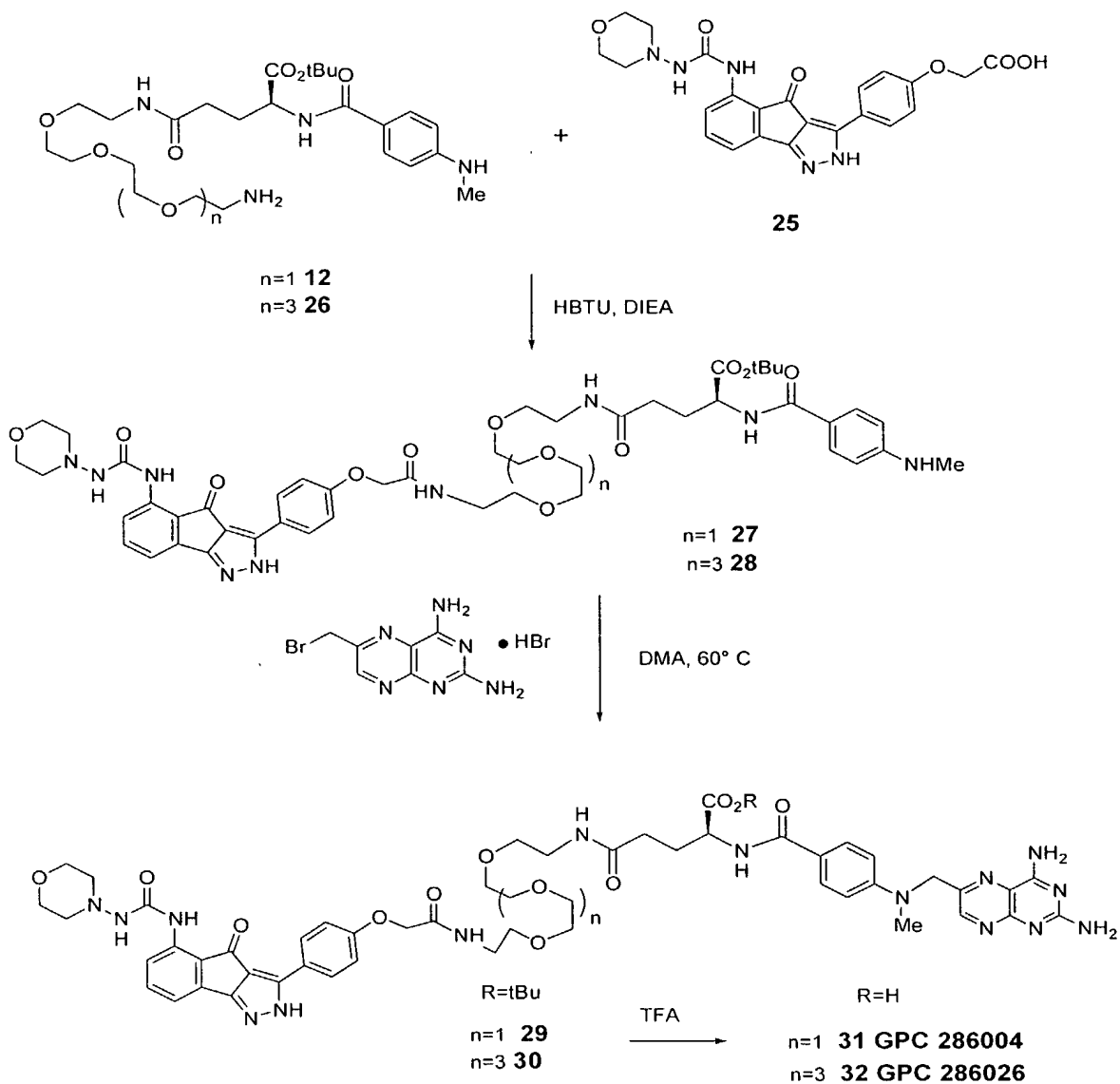


FIG. 1F

Scheme 6

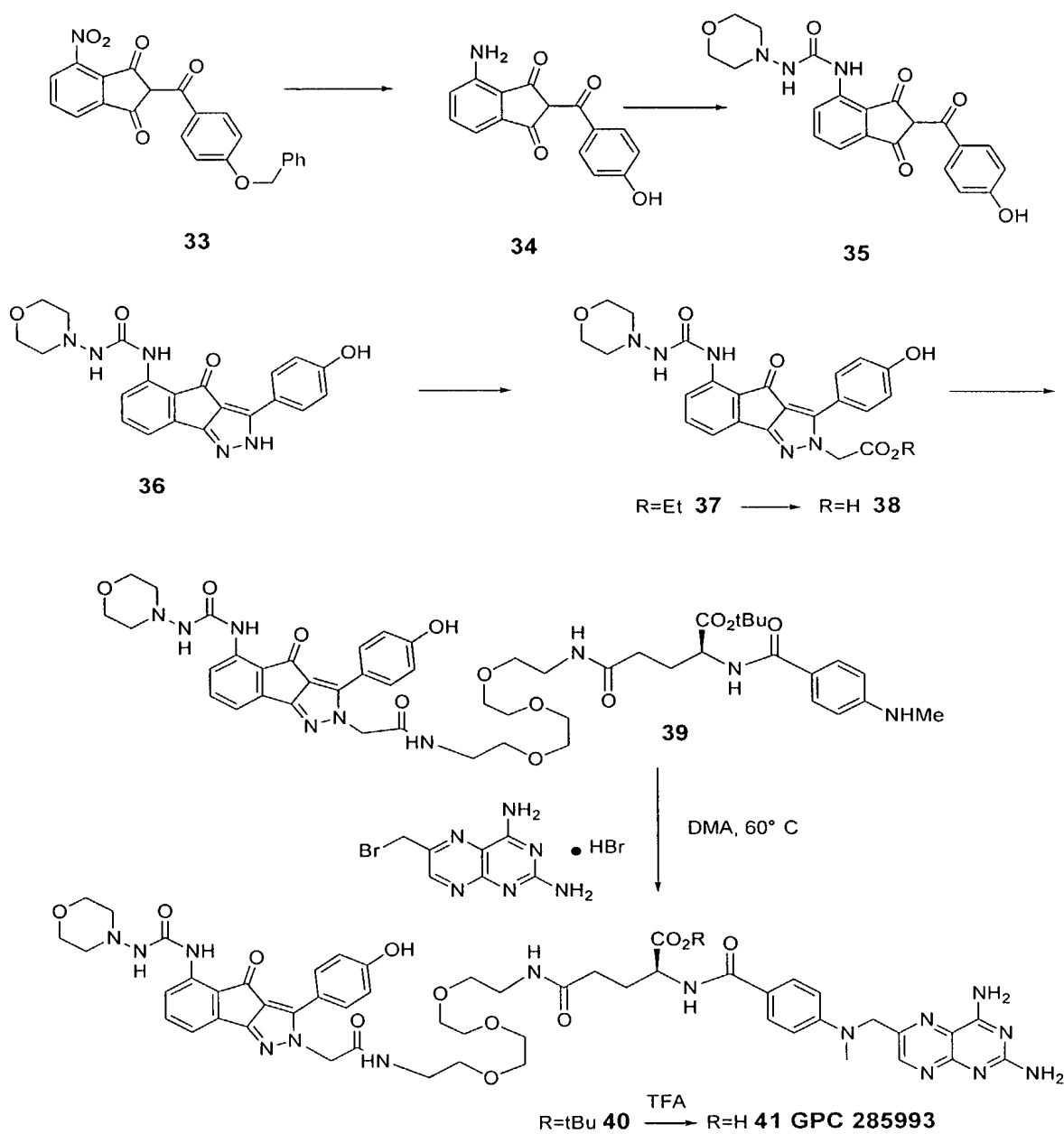


FIG. 2

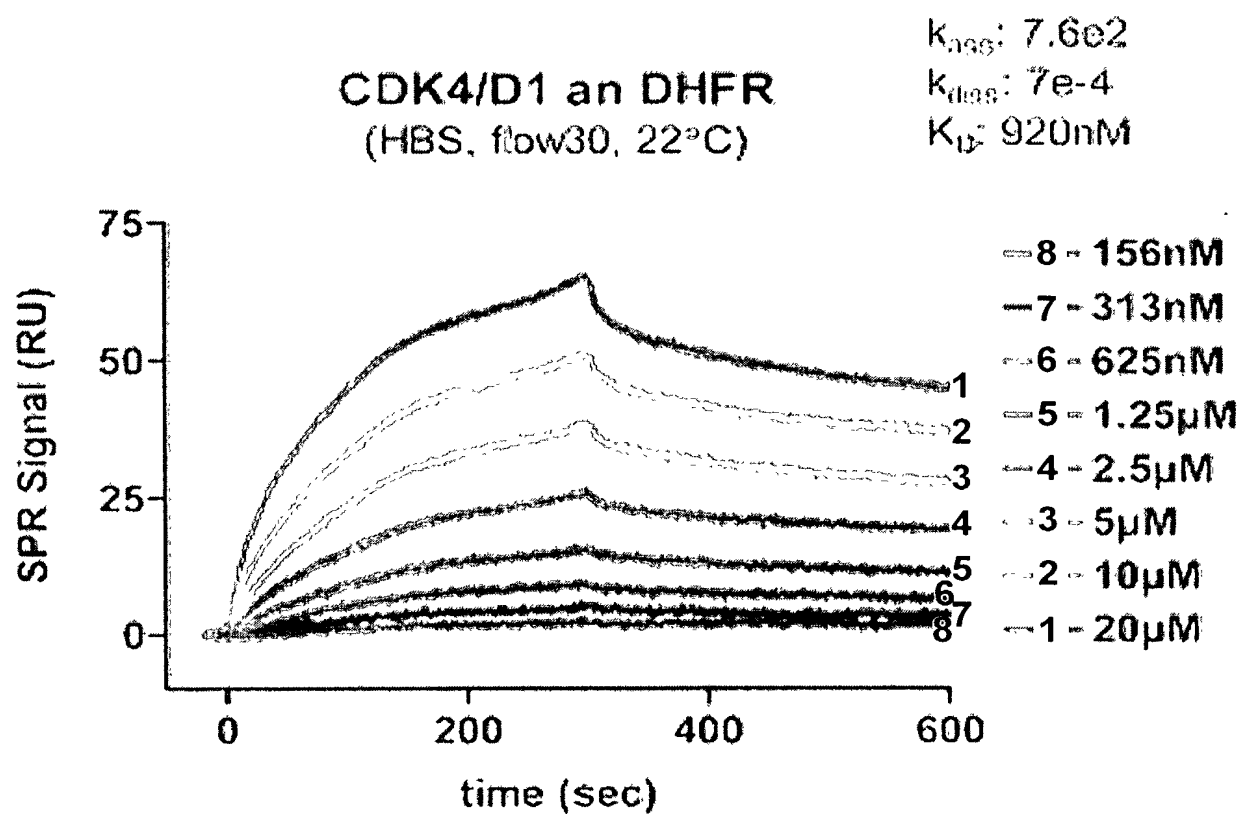
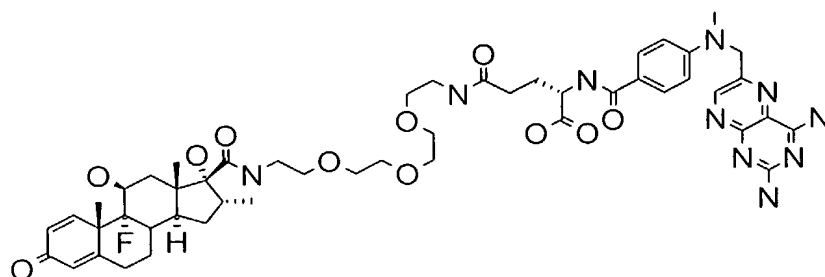


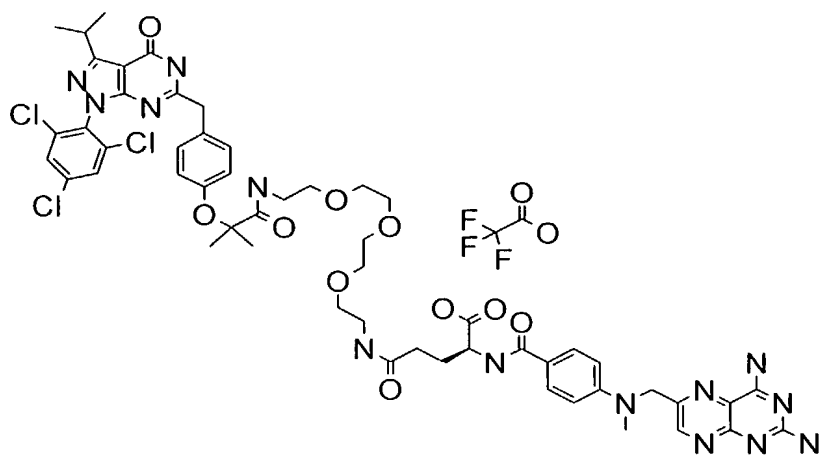
FIG. 3

Structure representations of GPC 285937, GPC 285985 and GPC 285993

a) GPC 285937



b) GPC 285985



c) GPC 285993

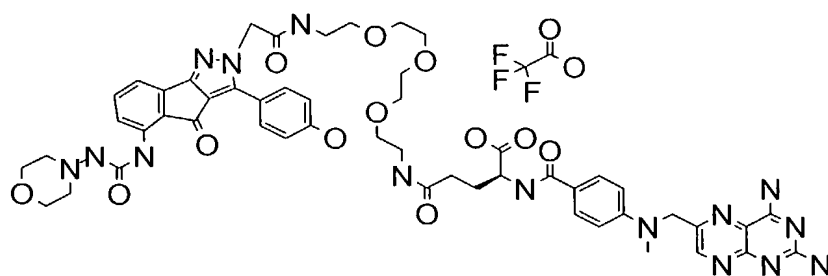
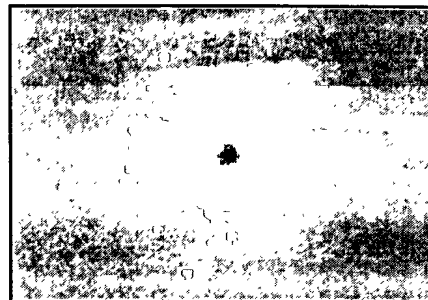




FIG. 4

**a. 1 $\mu$ l 1mM GPC  
285937 in DMSO**

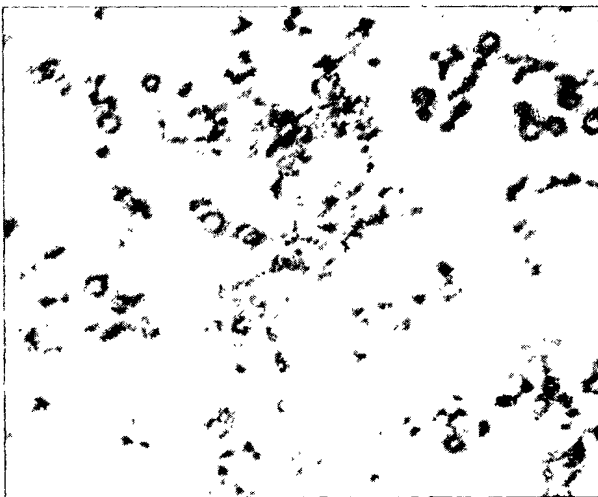


**b. 1 $\mu$ l DMSO**



FIG. 5

a. MTX-mdbt-Dex



b. GPC 285937

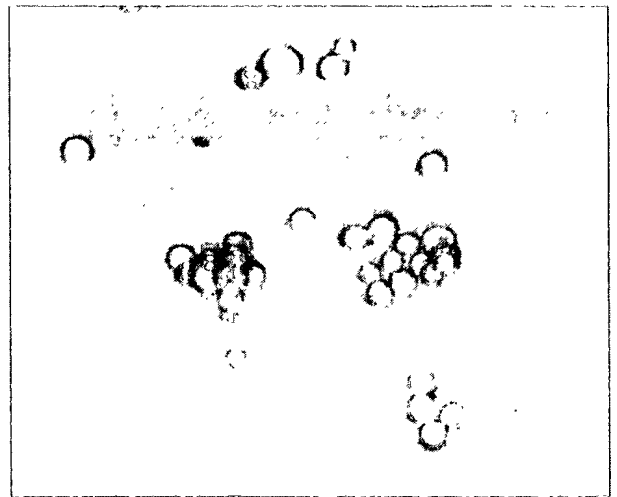
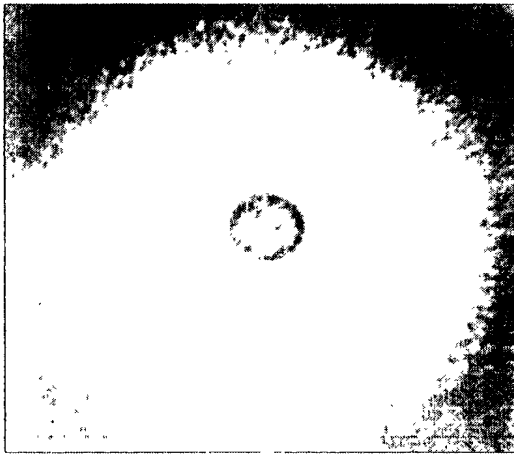


FIG. 6



**a. GPC 285937**



LINKER =

3 polyethylenglycol groups

**b. Mtx-mdbt-Dex**

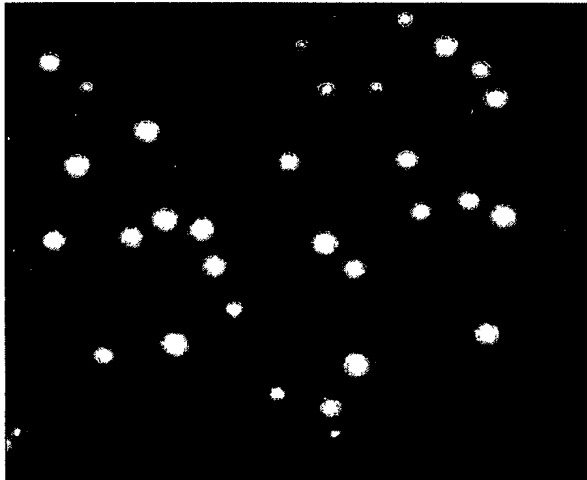


LINKER =

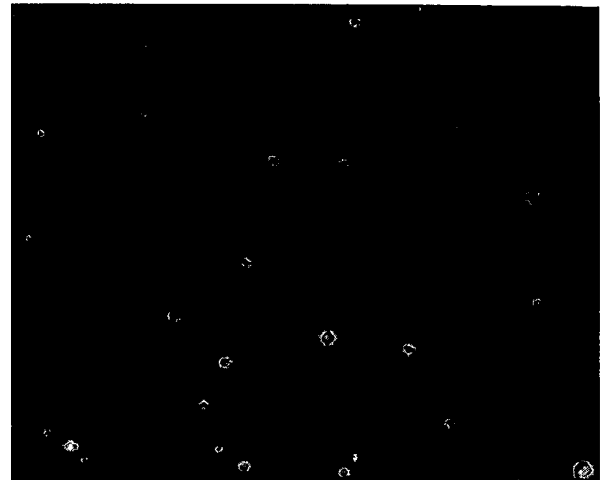
metadibenzothioester

FIG. 7

Difference in yeast colony growth on screening plates



**a. GPC 285937**



**b. Mtx-mdbt-Dex**

FIG. 8

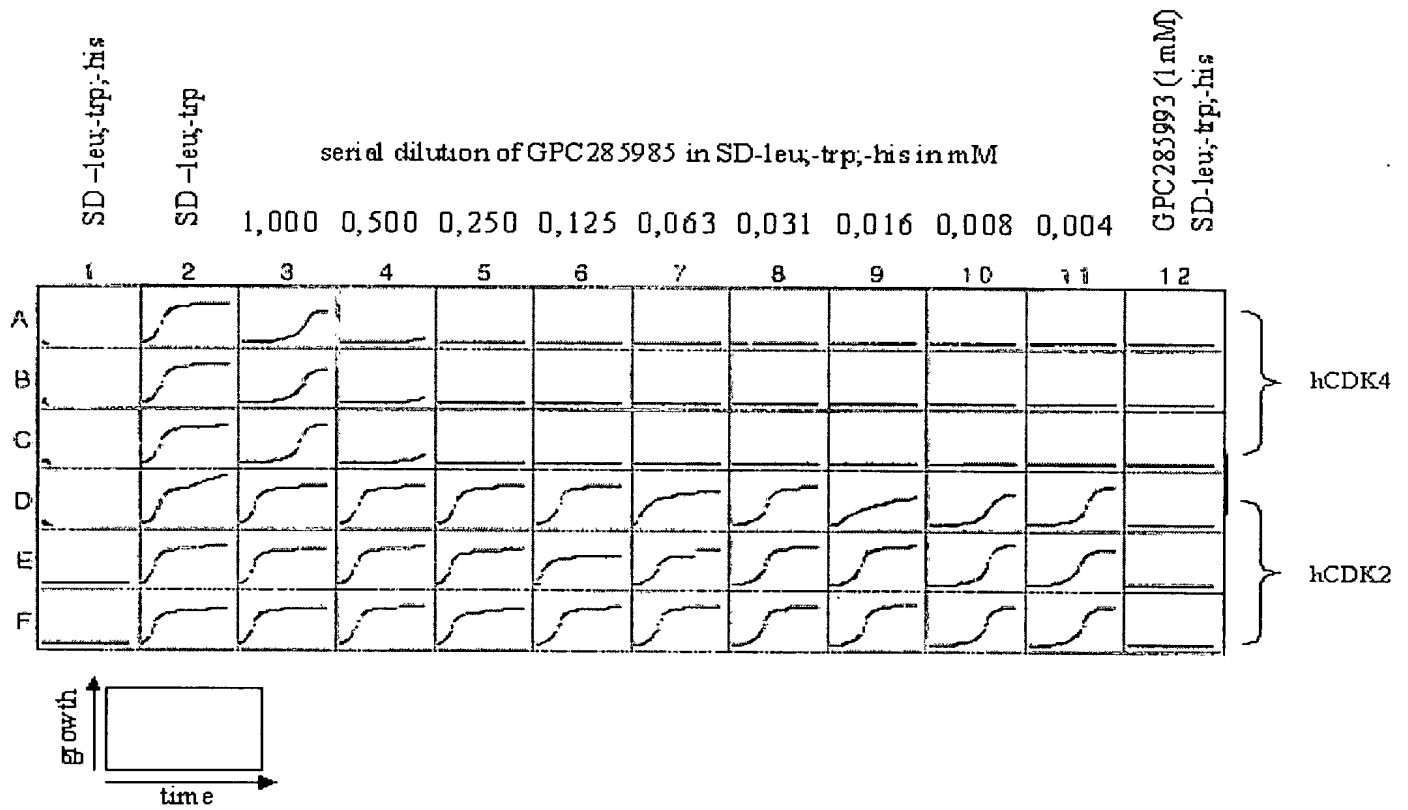


FIG. 9

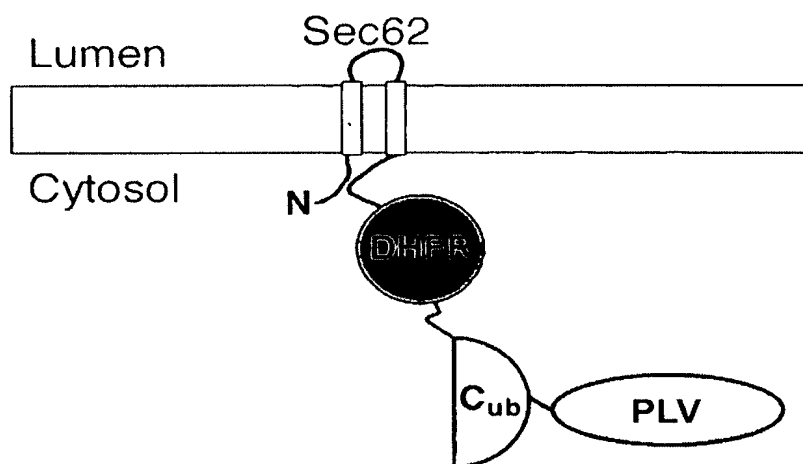
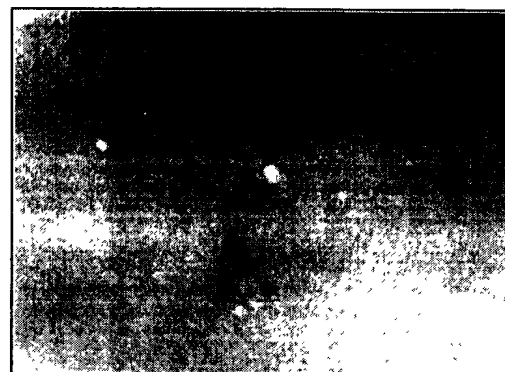


FIG. 10

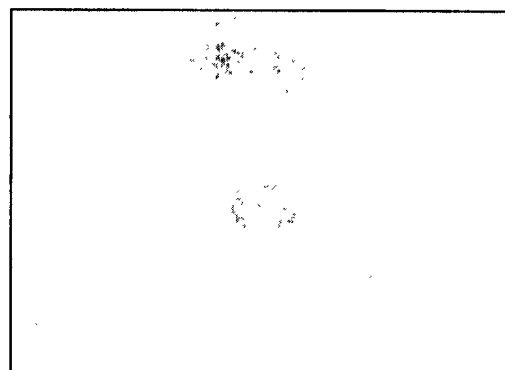
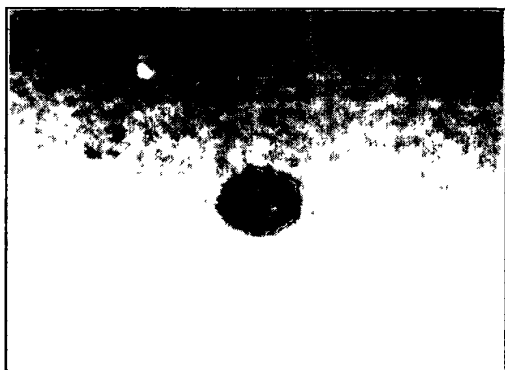
Test of CDK specificity of compound GPC 285985

pBYH-DHFR/pACH-CDK2

pBYH-DHFR/pACH-CDK4



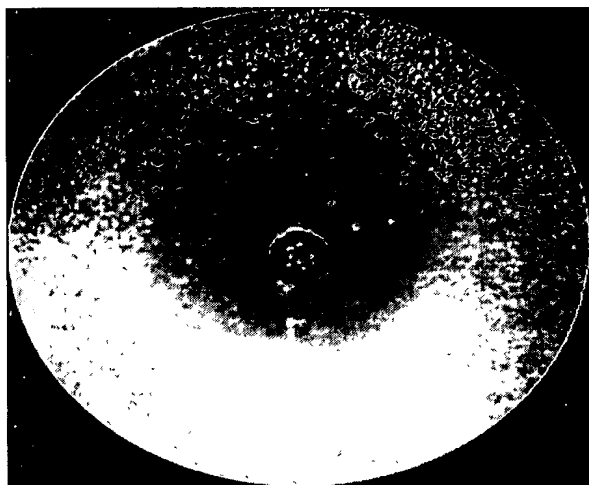
LTH



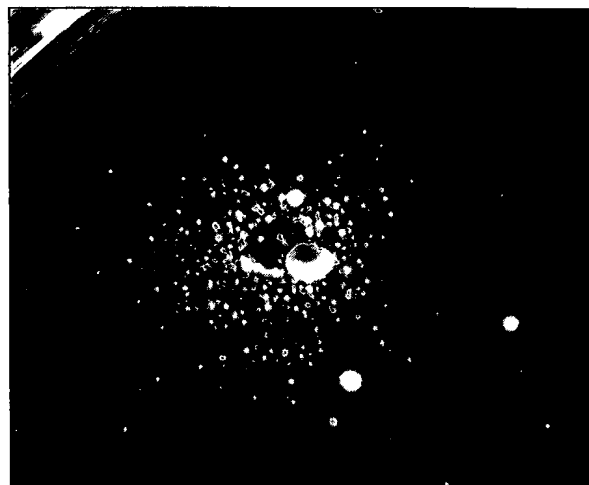
LT

FIG. 11

Compound GPC 285985 is CDK2 specific



CDK2



CDK4



FIG. 12

Retest of clones picked

GeneID:Forw	CloneID	LTH	285085	285093
GPC761	MTP1E12	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input type="checkbox"/>
CDK2	MTP1D6	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input type="checkbox"/>
GPC456	MTP1D9	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
	MTP1E7	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC672	MTP1C24	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC556	MTP1C14	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC173	MTP1F9	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC553	MTP1F7	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC644	MTP1C23	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
	MTP1D16	<input type="checkbox"/>	<input type="checkbox"/>	<input type="checkbox"/>

FIG. 13

GPC761 binds specific to GPC2GPC 285985

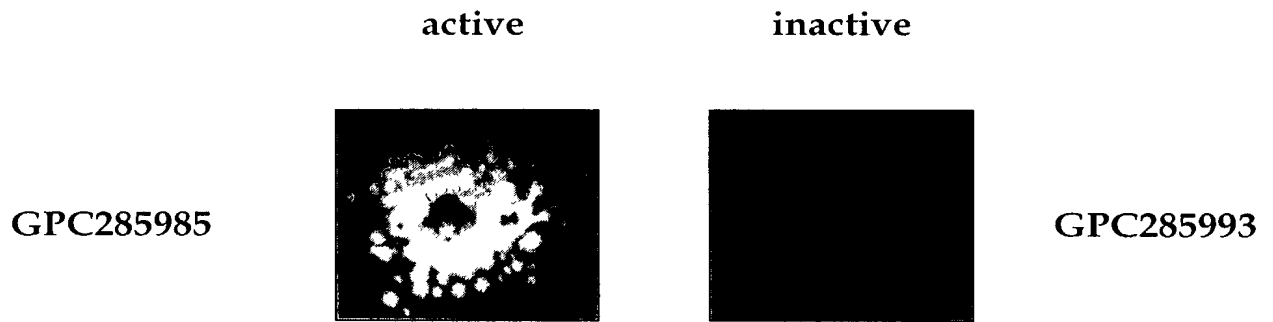
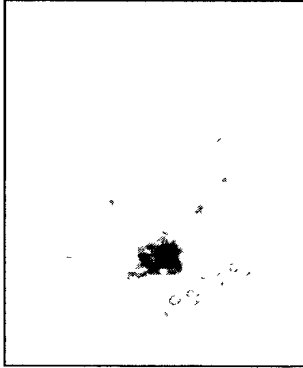


FIG. 14

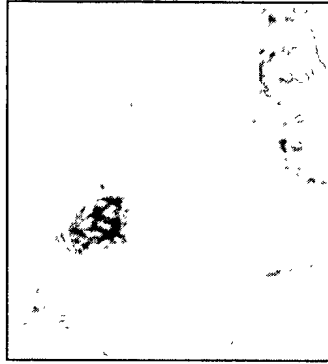
Mammalian three hybrid system

A



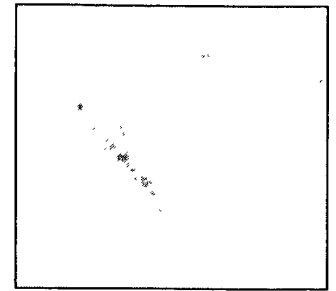
positive Control

B



Gal-DHFR  
VP16-GR

C



Gal-DHFR  
VP16-GR

FIG. 15

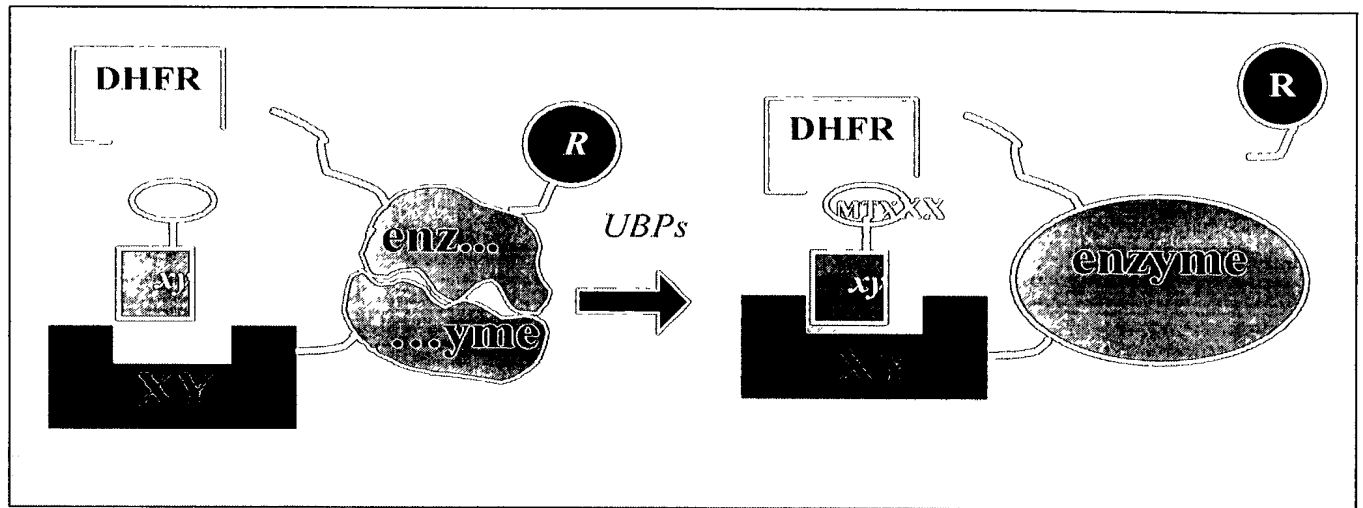
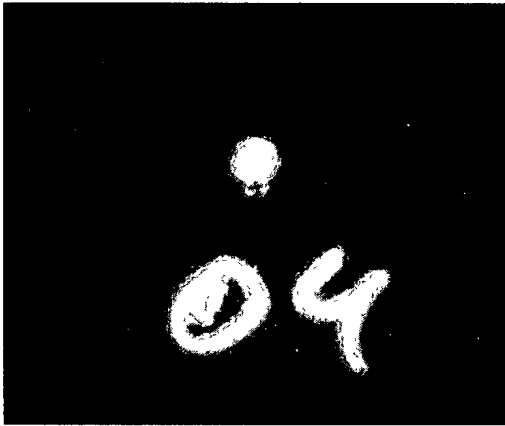


FIG. 16

a. GPC 286004



b. GPC 286026



